Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	508	c adj glycoside	US-PGPUB; USPAT	OR	ON	2005/12/14 09:07
L2	64635	galactos$8 galactopyranos$6	US-PGPUB; USPAT	OR	ON	2005/12/14 09:58
L3	194	1 and 2	US-PGPUB; USPAT	OR	ON	2005/12/14 09:08
L4	29	1 same 2	US-PGPUB; USPAT	OR	ON	2005/12/14 09:58
L5	101	c adj glycoside	EPO; JPO; DERWENT	OR	ON	2005/12/14 09:58
L6	8446	galactos$8 galactopyranos$6	EPO; JPO; DERWENT	OR	ON	2005/12/14 09:58
L7	17	5 and 6	EPO; JPO; DERWENT	OR	ON	2005/12/14 09:58

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     (FILE 'HOME' ENTERED AT 08:19:34 ON 14 DEC 2005)

     FILE 'REGISTRY' ENTERED AT 08:19:49 ON 14 DEC 2005
L1                SCREEN 963 AND 1006 AND 1051
L2                STRUCTURE UPLOADED
L3                QUE L2 AND L1
L4              0 S L3 SSS SAM
L5              2 S L3 SSS FULL

     FILE 'CAPLUS' ENTERED AT 08:20:31 ON 14 DEC 2005
L6              3 S L5
L7              1 S 2003:320337/AN
L8              1 S 1985:149656/AN
L9           1809 S C-GLYCOSIDE
L10        562382 S ALKYL
L11           76 S L9 AND L10
L12        467451 S GLUCOS?
L13         98083 S GALACTOS?
L14         44908 S MANNOS?
L15         22203 S MONOSACCHARIDE
L16            24 S L11 AND (L12 OR L13 OR L14 OR L15)
L17            24 S L16 NOT L6
                  E POHL NICOLA/IN,AU
L18            50 S E4-8
                  E KO KWANG/IN,AU
L19            15 S E7-8
                  E KRUSE JERRID/IN,AU
L20            65 S L18 OR L19
L21            63 S L20 NOT L6
L22             0 S L21 AND L9
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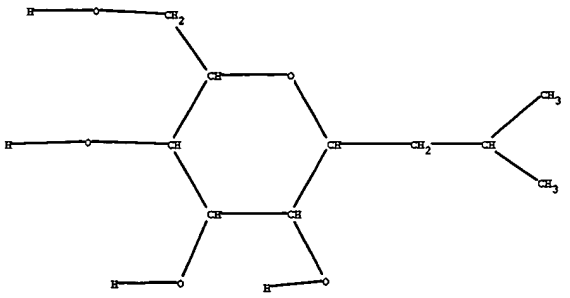

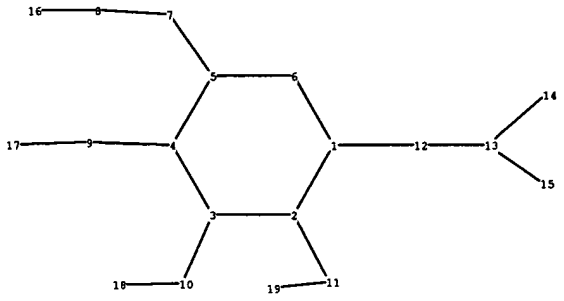

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7 8 9 10 11 12 13 14 15 16 17 18 19
ring nodes :
1 2 3 4 5 6
chain bonds :
1-12 2-11 3-10 4-9 5-7 7-8 8-16 9-17 10-18 11-19 12-13 13-14 13-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 3-10 4-5 4-9 5-6
exact bonds :
1-12 5-7 7-8 8-16 9-17 10-18 11-19 12-13 13-14 13-15

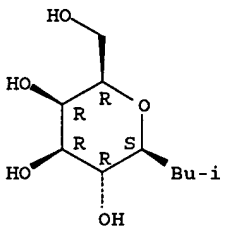
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS

L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:927223 CAPLUS
DOCUMENT NUMBER: 141:389836
TITLE: Isobutyl-C-galactoside as an isopropylthiogalactoside (IPTG) analog for induction of protein expression under control of Lac promoter
INVENTOR(S): Pohl, Nicola Lucia; Ko, Kwang-Seuk
PATENT ASSIGNEE(S): Iowa State University Research Foundation, Inc, USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094445	A1	20041104	WO 2004-US12095	20040409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004224390	A1	20041111	US 2004-827213	20040419
PRIORITY APPLN. INFO.:			US 2003-463871P P	20030418
			US 2003-510872P P	20031014

AB A novel C-glycoside of isopropylthiogalactoside (IPTG), isobutyl-C-galactoside (IBCG), is described. IBCG may be used as an IPTG substitute for increased induction of protein expression of plasmid-based genes for the production of recombinant proteins under the control of the lac promoter. IBCG offers the advantage over IPTG of being stable at ambient temperature The invention relates to synthesis of isobutyl-C-galactoside.
IT **546084-21-3P**, Isobutyl-C-galactoside
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isobutyl-C-galactoside as an isopropylthiogalactoside (IPTG) analog for induction of protein expression under control of Lac promoter)
RN 546084-21-3 CAPLUS
CN L-glycero-L-galacto-Nonitol, 2,6-anhydro-7,8,9-trideoxy-8-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:320337 CAPLUS
DOCUMENT NUMBER: 139:53215
TITLE: Synthesis of Isobutyl-C-galactoside (IBCG) as an Isopropylthiogalactoside (IPTG) Substitute for Increased Induction of Protein Expression
AUTHOR(S): Ko, Kwang-Seuk; Kruse, Jerrid; Pohl, Nicola L.
CORPORATE SOURCE: Department of Chemistry and the Plant Sciences Institute Gilman Hall, Iowa State University, Ames, IA, 50011-3111, USA
SOURCE: Organic Letters (2003), 5(10), 1781-1783

CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:53215

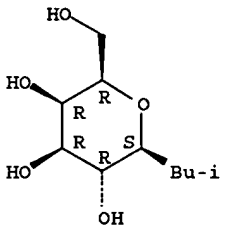
AB Addition of isopropyl-β-D-thiogalactopyranoside (IPTG) to bacterial cultures is often used to induce expression of plasmid-based genes for the production of recombinant proteins under control of the lac promoter, but a simple method to circumvent the inherent instability of this compound has not been addressed exptl. Herein we report the first synthesis of isobutyl-C-galactoside (IBCG), the C-glycoside analog of IPTG, and show that IBCG is superior to IPTG in inducing protein expression over long induction times.

IT **546084-21-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of isobutyl-C-galactoside (IBCG) as an isopropylthiogalactoside (IPTG) substitute for increased induction of protein expression)

RN 546084-21-3 CAPLUS

CN L-glycero-L-galacto-Nonitol, 2,6-anhydro-7,8,9-trideoxy-8-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:149656 CAPLUS
DOCUMENT NUMBER: 102:149656

TITLE: Application of the Grignard reaction to the synthesis of C-glycosides

AUTHOR(S): Marquez, F.; Arriandiaga, M. V.; Urbieta, M. T.
CORPORATE SOURCE: Fac. Cienc., Univ. del Pais Vasco, Spain

SOURCE: Anales de Quimica, Serie C: Quimica Organica y Bioquimica (1983), 79(3, suppl. 1), 428-31
CODEN: AQSBD6; ISSN: 0211-1357
DOCUMENT TYPE: Journal
LANGUAGE: Spanish

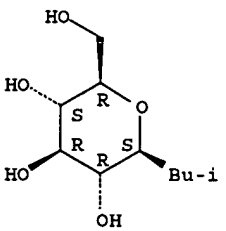
AB C-Glycosides I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, Me, Et, Pr, CHMe2, Bu, CH2CHMe2, CHMeEt; R1 = H) were obtained in 85-90% yield by Grignard reaction of α-acetobromoglucose with RMgBr. I (R1 = H) were acetylated to give I (R1 = Ac).

IT **94940-00-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 94940-00-8 CAPLUS

CN D-glycero-D-gulo-Nonitol, 4,8-anhydro-1,2,3-trideoxy-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1146015 CAPLUS
DOCUMENT NUMBER: 143:422571
TITLE: Preparation of **C-glycosides** and their use as skin cosmetic agents
INVENTOR(S): Trouille, Simon; Cavezza, Alexandre; Pichaud, Patrick
PATENT ASSIGNEE(S): L'oreal, Fr.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1589010	A1	20051026	EP 2005-290793	20050411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
FR 2869317	A1	20051028	FR 2004-50773	20040423
US 2005250708	A1	20051110	US 2005-110864	20050421
PRIORITY APPLN. INFO.:			FR 2004-50773 A	20040423
			US 2004-567781P P	20040505

AB **C-glycosides** [(I), wherein S is **monosaccharide**, oligosaccharide up to 20 furanose or pyranose D-sugar residues; R is **alkyl**, perfluoroalkyl, hydrofluoroalkyl, cycloalkyl, cyclo-perfluoroalkyl, cyclo-hydrofluoroalkyl, Ph, benzyl] were prepared and used as cosmetic agents for the treatment of skin. Thus, **C-glycoside** (II) was prepared and used as cosmetic agent for the treatment of skin. Title **C-glycosides** were used as stimulants of glycosaminoglycans synthesis via fibroblasts or keratinocyte.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:1028066 CAPLUS
DOCUMENT NUMBER: 143:286629
TITLE: Preparation of glucopyranosyl-substituted phenyl derivatives antidiabetic agents and SGLT2 inhibitors
INVENTOR(S): Eckhardt, Matthias; Eickelmann, Peter; Himmelsbach, Frank; Barsoumian, Edward Leon; Thomas, Leo
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005209166	A1	20050922	US 2005-80150	20050315
DE 102004012676	A1	20051006	DE 2004-102004012676	20040316
WO 2005092877	A1	20051006	WO 2005-EP2618	20050311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			DE 2004-102004012676A	20040316
			US 2004-560239P P	20040407
			DE 2004-102004040168A	20040818
			DE 2004-102004061145A	20041216
			EP 2005-2628 A	20050209

AB Glucopyranosyl-substituted benzene derivs. I, wherein R1 is alkynyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, alkylcarbonyl, alkylaminocarbonyl; R2 is H, F, Cl, Br, OH, **alkyl**, alkoxy, CN,

NO2; R3 is **alkyl**-silyl-**alkyl**, alkynyl, alkenyl, amino, alkylamino, heterocycle; R4 and R5 are independently H, F, Cl, Br, iodine, CN, NO2, **alkyl**, alkoxy,Me, OMe; R6-R9 are independently H, alkylcarbonyl, alkyoxycarbonyl, arylcarbonyl, aryl-**alkyl** -carbonyl, were prepared as antidiabetic agents and SGLT2 inhibitors. The compds. according to the invention are suitable for the treatment of metabolic disorders, wherein the metabolic disorder is selected from the group consisting of type 1 and type 2 diabetes mellitus, complications of diabetes, metabolic acidosis or ketosis, reactive hypoglycemia, hyper-insulinemia, **glucose** metabolic disorder, insulin resistance, metabolic syndrome, dyslipidemia of different origins, atherosclerosis and related diseases, obesity, high blood pressure, chronic heart failure, edema and hyperuricemia. Compds. which have an inhibitory effect on the sodium-dependent **glucose** co-transporter SGLT2 are proposed for the treatment of diseases, particularly diabetes. Thus II was prepared and tested as antidiabetic agent and SGLT2 inhibitor.

L17 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:736848 CAPLUS
TITLE: Imino-C-glycosyl compounds: Synthesis and therapeutic interest
AUTHOR(S): Martin, Olivier R.; Compain, Philippe
CORPORATE SOURCE: Faculte des Sciences, University of Orleans, Orleans, 45067, Fr.
SOURCE: Abstracts of Papers, 230th ACS National Meeting, Washington, DC, United States, Aug. 28-Sept. 1, 2005 (2005), CARB-031. American Chemical Society: Washington, D. C.
CODEN: 69HFCL
DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)
LANGUAGE: English
AB Sugar analogs carrying nitrogen at the position of the endocyclic oxygen atom, so-called -iminosugars', form one of the most interesting class of glycomimetics. Most iminosugar derivs. are however simple iminoalditols related to 1-deoxynojirimycin and do not carry a substituant at the -anomeric' position. Thus precious aglycon-specific information is lost when such iminosugars are used to mimick glycosides as glycosidase or glycosyltransferase inhibitors. We have designed efficient methodologies for the stereoselective synthesis of nojirimycin-**C-glycosides** carrying simple **alkyl** chains (e.g. compds. 1 and 2) or functionalized groups (e.g. compound 3 and 4). The synthesis of a diversity of imino-C-glycosyl compds. will be described and the biol. activites of selected compds. as inhibitors of human &beta-glucocerebrosidase and of **glucosylceramide** synthase will be reported.

L17 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:386323 CAPLUS
TITLE: Synthesis of **C-glycosides** with glycosyl phosphates
AUTHOR(S): Palmacci, Emma R.; Herzner, Holger; Seeberger, Peter H.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: ACS Symposium Series (2005), 896(Glycomimetics), 81-92
CODEN: ACSMC8; ISSN: 0097-6156
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A symposium. Glycosyl phosphate glycosylation agents were successfully used in the synthesis of C-aryl linkages common to many natural products via a Lewis acid induced rearrangement. The rearrangement was stereo- and regiospecific, yielding only one **C-glycoside** product. C-**alkyl** glycoside carbohydrate mimetics were generated by using silicon derived C-nucleophiles and glycosyl phosphates. A short, high yielding synthesis of the C-**glucoside** 8,10-di-O-methylbergenin, is described.
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:120942 CAPLUS
DOCUMENT NUMBER: 142:219490
TITLE: Preparation of substituted fused heterocyclic **C-glycosides** for the treatment or prophylaxis of diabetes and Syndrome X

INVENTOR(S): Rybczynski, Philip; Urbanski, Maud; Zhang, Xiaoyan
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Tanabe Seiyaku Co., Ltd
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005012318	A2	20050210	WO 2004-US24625		20040730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005037980	A1	20050217	US 2004-903136		20040730
PRIORITY APPLN. INFO.:			US 2003-491523P	P	20030801
			US 2003-491534P	P	20030801
			US 2003-519210P	P	20031112
			US 2004-579730P	P	20040615

OTHER SOURCE(S): MARPAT 142:219490

AB This invention relates to substituted fused heterocyclic **C-glycosides** I, wherein R1 is H, **alkyl**; or, where the dashed line between NR and X is present, R1 is absent; X is N, C=O, CH, or C-Q-Z; Y is N-Q-Z or C-Q-Z, where X is N, C=O, or CH; Y is CH, where X is C-Q-Z; Q = -(CH)n- where n = 1 or 2; Z is cycloalkyl, Ph, a 5- or 6-membered heteroaryl having 1 or 2 heteroatoms independently selected from N, O, and S, a biaryl, a 9- or 10-membered fused bicyclyl, and a fused heterobicyclyl, wherein said fused heterobicyclyl has between 1 and 4 heteroatoms independently selected from N, O, S, were prepared for the treatment or prophylaxis of diabetes and Syndrome X. Thus, glycoside II was prepared and tested in mice for the treatment or prophylaxis of diabetes and Syndrome X. The diabetes or Syndrome X, or associated symptoms or complications thereof is selected from IDDM, NIDDM, IGT, IFG, obesity, nephropathy, neuropathy, retinopathy, atherosclerosis, polycystic ovarian syndrome, hypertension, ischemia, stroke, heart disease, irritable bowel disorder, inflammation, and cataracts.

L17 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:22787 CAPLUS
DOCUMENT NUMBER: 142:240652
TITLE: Synthesis of Postulated Molecular Probes: Stereoselective Free-Radical-Mediated C-Glycosylation in Tandem with Hydrogen Transfer
AUTHOR(S): Guindon, Yvan; Bencheqroun, Mohammed; Bouzide, Abderrahim
CORPORATE SOURCE: Bio-organic Chemistry Laboratory, Institut de recherches cliniques de Montreal (IRCM), Montreal, QC, H2W 1R7, Can.
SOURCE: Journal of the American Chemical Society (2005), 127(2), 554-558
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:240652

AB Reported herein is a strategy employing an addition reaction in tandem with a hydrogen-transfer reaction for the elaboration of **C-glycoside**-based sialyl Lewis X (sLeX) analogs. Significant stereocontrol was noted when **alkyl** radicals were reacted with a series of alkoxytaconates. Transition states were proposed to explain the obtained selectivity. Further reaction between an anomeric-centered fucosyl-derived radical and a **galactosylated** hydroxytaconate provided easy access to C,O-diglycosides as mimics of sLeX. In this case, two 1,3-distant stereocenters were created with high diastereoselectivity using free radical intermediates in a tandem process.

REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:780686 CAPLUS
DOCUMENT NUMBER: 141:296242
TITLE: Preparation of **C-glycoside** derivatives and salts thereof as Na+-**glucose** co-transporter inhibitor
INVENTOR(S): Imamura, Masakazu; Murakami, Takeshi; Shiraki, Ryota; Ikegai, Kazuhiro; Sugane, Takashi; Iwasaki, Fumiyoshi; Kurosaki, Eiji; Tomiyama, Hiroshi; Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co. Ltd., Japan; Kotobuki Pharmaceutical Co. Ltd.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080990	A1	20040923	WO 2004-JP3324	20040312

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-70297 A 20030314
OTHER SOURCE(S): MARPAT 141:296242
AB **C-glycoside** derivs. represented by the following general formula (I) or salts thereof [wherein ring A = benzene, 5- or 6-membered monocyclic heteroaryl ring containing 1-4 heteroatoms selected from N, S, and O, or (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O; ring B = (un)saturated 8- to 10-membered bicyclic heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 5- to 6-membered heterocyclic ring containing 1-4 heteroatoms selected from N, S, and O, (un)saturated 8- to 10-membered carbocyclic ring, or benzene ring; X = a bond, lower alkylene; R1-R4 = H, lower **alkyl**, lower alkylcarbonyl, lower alkylene-aryl; R5=R11 = H, lower **alkyl**, cycloalkyl, halo, halo-lower **alkyl**, OH, oxo, NH2, lower alkylsulfonyl, halo-lower alkylsulfonyl, arylsulfonyl, aryl, (un)saturated 5- or 6-membered monocyclic heterocyclyl containing 1-4 heteroatoms selected from N, S, and O, hydroxy-lower **alkyl**, lower alkoxy-lower **alkyl**, etc.] are prepared These **C-glycosides**, more specifically C-**glucosides**, are useful as Na+-**glucose** cotransporter inhibitors in remedies for, e.g., diabetes, in particular, insulin-independent diabetes (type 2 diabetes) and insulin-dependent diabetes (type 1 diabetes), as well as remedies for insulin resistance diseases and various diseases relating to diabetes including obesity. Thus, lithiation of benzo[b]thiophene with BuLi/hexane in THF at -78° for 2 h, addition reaction with 3-(2,3,4,6-tetra-O-benzyl-β-D-glucopyranosyl)benzaldehyde for 5 h, reduction with triethylsilane in the presence of BF3.OEt2 in CH2Cl2 for 2 h under ice-cooling, and finally debenzylation with BBr3/heptane in CH2Cl2 at -78° for 90 min gave (1S)-1,5-anhydro-2,3,4,6-tetra-O-benzyl-1-[3-(1-benzothiophen-2-ylmethyl)phenyl]-D-glucitol (II; R = H). II (R = OMe) showed IC50 of 3.8 nM for inhibiting the uptake of Me α-D-(U-14C)glucopyranoside in CHO cells stably expressing human Na+-**glucose** transporter (SGLT2).
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:747991 CAPLUS
DOCUMENT NUMBER: 141:411150
TITLE: Stereospecific Uncatalyzed α-O-Glycosylation and α-C-Glycosidation by Means of a New D-Glucal-Derived α-Vinyl Oxirane

AUTHOR(S): Di Bussolo, Valeria; Caselli, Micaela; Romano, Maria Rosaria; Pineschi, Mauro; Crotti, Paolo
CORPORATE SOURCE: Dipartimento di Chimica Bioorganica e Biofarmacia, Universita di Pisa, Pisa, 56126, Italy
SOURCE: Journal of Organic Chemistry (2004), 69(21), 7383-7386
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:411150
AB The reaction of α-vinyl oxirane, prepared through a new route to the D-glucal I, system, with O-nucleophiles (alcs. and di-O-isopropylidene-α-D- **monosaccharides**) and C-nucleophiles (lithium **alkyl**) affords, in a completely stereoselective way, the corresponding 2-unsatd. α-O- and **C-glycosides**, e.g. II, having the same configuration as the starting epoxide.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:667994 CAPLUS
DOCUMENT NUMBER: 141:314532
TITLE: Stereoselective synthesis of allyl-C-**mannosyl** compounds: Use of a temporary silicon connection in intramolecular allylation strategies with allylsilanes
AUTHOR(S): Beignet, Julien; Tiernan, James; Woo, Chang H.; Kariuki, Benson M.; Cox, Liam R.
CORPORATE SOURCE: School of Chemistry, The University of Birmingham, Edgbaston, Birmingham, B15 2TT, UK
SOURCE: Journal of Organic Chemistry (2004), 69(19), 6341-6356
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:314532
AB Me **mannoside** (I) containing an allyldimethylsilyl ether at C(2) was synthesized in nine steps from D-**mannose**. Reaction with TMSOTf in MeCN at room-temperature effected C-glycosylation to provide the α-allyl-C- **mannosyl** product with excellent stereoselectivity. Crossover expts. over a range of reaction concns. proved that reaction was proceeding via an intermol. pathway rather than the hoped-for intramol. delivery route. The exceptionally high stereoselectivity of this allylation in the presence of an acid-scavenger, 2,6-DTBMP, can be attributed to I behaving as the allylating agent. Geometrical constraints in the seven-membered ring transition state account for the lack of intramol. allyl transfer. Attaching a modified allylsilane to C(2)OH of Me **mannoside** improved matters. Reaction of the tethered **mannosides** with TMSOTf in the presence of 2,6-DTBMP in MeCN at rt provided a range of products, which depended on the size of the **alkyl** substituents at the silyl ether tether. Diene products were the major compds. irresp. of the size of the **alkyl** substituents at the silyl ether tether. Their formation can be understood by intramol. allylation of the allylsilane on to the activated anomeric center, followed by collapse of the intermediate carbocation by preferential attack of an external nucleophile at the silyl ether tether, rather than at the allylic silicon center. A cascade of further reactions rationalizes the formation of (II-IV). The desired β-allyl-C- **mannosyl** products were obtained, albeit in low yield, when bulky Et and iso-Pr groups were employed at the silyl ether tether. Stereospecific oxidative cleavage of the silyl tether provided the corresponding stereodefined diols. Attempts to improve the yield and diastereoselectivity of the desired β-allyl-C- **mannosyls** by moving to a sulfoxide **mannosyl** donor, which could be activated at low temperature, proved unsuccessful.
REFERENCE COUNT: 166 THERE ARE 166 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:655931 CAPLUS
TITLE: Toward understanding of bioavailability enhancement by **C-glycoside** base bioconjugations I: Investigation of the relation between sugar configuration, and solubility and octanol-water partition coefficient, an experimental and in silico study

AUTHOR(S): Mroz, Piotr A.; Brunel, Florence M.; Spatola, Arno F.; Taylor, K. Grant
CORPORATE SOURCE: Department of Chemistry and Institute for Molecular Diversity and Drug Design, University of Louisville, Louisville, KY, 40292, USA
SOURCE: Abstracts of Papers, 228th ACS National Meeting, Philadelphia, PA, United States, August 22-26, 2004 (2004), CARB-075. American Chemical Society: Washington, D. C.
CODEN: 69FTZ8
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English

AB Previously we have reported the synthesis of a new class of bioconjugates based on 2,3,4,6-tetra-O-**alkyl**-α-D- **mannosyl** acetic acid. As has been shown in silico, fragment base methods do not provide reliable results for new, conformationaly flexible polyether structures where differences in stereochem. may by influential. To more fully understand the impact of alkylated sugar bioconjugation on increasing overall bioavailability, we synthesized series of permethylated **C-glycosides** and coupled them to amino acids having different hydrophobicity characteristics. The computational study indicated significant sugar-dependent changes in conformation of the mols. investigated. The evaluation of water solubility and octanol-water partitioning has been performed. An exptl. partition coefficient result has been correlated with data obtained from surface interaction-based in silico studies employing the COSMO-RS method. Results of our investigations will be presented.

L17 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:120840 CAPLUS
DOCUMENT NUMBER: 140:164134
TITLE: Preparation of 1,5-anhydro-1-[3-(azulen-2-ylmethyl)phenyl]-D-glucitol derivatives and salts thereof for treatment of diabetes
INVENTOR(S): Tomiyama, Hiroshi; Noda, Atsushi; Kitta, Kayoko; Kobayashi, Yoshinori; Imamura, Masakazu; Murakami, Takeshi; Ikegai, Kazuhiro; Suzuki, Takayuki; Kurosaki, Eiji
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Kotobuki Pharmaceutical Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013118	A1	20040212	WO 2003-JP9868	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494177	AA	20040212	CA 2003-2494177	20030804
BR 2003011659	A	20050315	BR 2003-11659	20030804
US 2005124555	A1	20050609	US 2003-491618	20030804
EP 1553094	A1	20050713	EP 2003-766722	20030804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NO 2005001161	A	20050304	NO 2005-1161	20050304
PRIORITY APPLN. INFO.:			JP 2002-226869 A	20020805
			JP 2003-130991 A	20030509
			WO 2003-JP9868 W	20030804

OTHER SOURCE(S): MARPAT 140:164134

AB Azulene derivs. represented by the following general formula (I) and salts thereof [R1-R4 = H, (un)substituted lower **alkyl**, lower **alkyl**-carbonyl, or aryl-lower **alkyl**; R5-R12 = H, (un)substituted lower **alkyl**, lower alkoxy, hydroxy-lower **alkyl**, lower alkoxy-lower **alkyl**, lower alkoxy-lower

alkoxy, aryl-lower alkoxy, lower alkylcarbonyloxy-lower **alkyl**, lower alkoxycarbonyl, or NH2, halo, HO, HO, CO2H, NO2, cyano; A = a bond, (un)substituted lower alkylene, wherein A is attached to any of 1-8 positions; or any two of R5-R7 together with the adjacent carbon atoms form a benzene ring] are prepared These **C-glycosides** are useful as Na+-**glucose** cotransporter (SGLT) inhibitors in, for example, remedies for diabetes, etc., in particular, insulin-independent diabetes (type 2 diabetes), insulin-dependent diabetes (type 1 diabetes), etc., and remedies for various diabetes-related diseases such as insulin resistant disease and obesity. For example, (1S)-1,5-anhydro-1-[2,4-dimethoxy-5-(azulen-2-ylmethyl)phenyl]-D-glucitol (II) in vitro inhibited the uptake of Me α-D-(U-14C)glucopyranoside in CHO cells stably expressing human SGLT2 with IC50 of 5.7 nM in a human SGLT2 inhibitory assay. II in vivo at 3 mg/kg p.o. lowered the blood sugar level by 45% in KK-Ay mice.

L17 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:504754 CAPLUS
DOCUMENT NUMBER: 137:63422
TITLE: Preparation of cosmetic and hygienic aminodeoxy **C-glycosides** as amphiphilics, emulsifiers and/or surfactants in shampoo
INVENTOR(S): Philippe, Michel; Semeria, Didier
PATENT ASSIGNEE(S): L'oreal, Fr.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051803	A2	20020704	WO 2001-FR4167	20011221
WO 2002051803	A3	20021227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2818646	A1	20020628	FR 2000-16996	20001222

PRIORITY APPLN. INFO.: FR 2000-16996 A 20001222
OTHER SOURCE(S): CASREACT 137:63422; MARPAT 137:63422
AB **C-glycosides** of formula S-CH2-X-R, wherein S is **monosaccharide**, L or D pyranose or furanose oligosaccharide; X is CO, CH(OH), imine, alkylidene; R is **alkyl**, arylalkyl, perfluoroalkyl, cycloalkyl, cycloperfluoroalkyl, cyclohydrofluoroalkyl, aryl, were prepared as cosmetics, hygienics and their use as amphiphilic agents and in particular as emulsifiers and/or surfactants in shampoo. The invention also concerns the use of **C-glycoside** derivs. as agents capable of forming lamellar phases resulting in lipid vesicles and compns. containing them. Thus, 1-deoxy-1-(2'-oxo)octyl-α-D-**glucose** was prepared and used as emulsifier agent in shampooing.

L17 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:260999 CAPLUS
DOCUMENT NUMBER: 135:107512
TITLE: Synthesis of C-Aryl and C-**Alkyl** Glycosides Using Glycosyl Phosphates
AUTHOR(S): Palmacci, Emma R.; Seeberger, Peter H.
CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE: Organic Letters (2001), 3(10), 1547-1550
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107512
AB **Mannosyl** and **glucosyl** phosphate donors were successfully used in constructing C-aryl linkages common to many natural products via a Lewis acid induced Fries-like rearrangement. The

rearrangement was stereo- and regiospecific, yielding only one **C-glycoside** product. C-**Alkyl** glycoside carbohydrate mimetics were generated by using silicon-derived C-nucleophiles and glycosyl phosphates.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:115159 CAPLUS
DOCUMENT NUMBER: 134:147804
TITLE: **C-glycoside** analogs and methods for their preparation and use
INVENTOR(S): Linhardt, Robert J.; Bazin, Helene G.; Du, Yuguo; Polat, Tulay
PATENT ASSIGNEE(S): University of Iowa Research Foundation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010877	A1	20010215	WO 2000-US21609	20000809
W: JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6245902	B1	20010612	US 1999-370493	19990809
PRIORITY APPLN. INFO.:			US 1999-370493 A	19990809

OTHER SOURCE(S): CASREACT 134:147804; MARPAT 134:147804
AB The invention provides versatile sialic acid **C-glycoside** precursors, i.e. R1CH(R2)AR3 (where R1 = residue of a sialic acid; R2 = H, OH, (C1-C6)alkanoyloxy; R3 = arylthio optionally substituted on the aryl ring with 1, 2, 3 or 4 substituents selected from halo, nitro, cyano, trifluoromethoxy, (C1-C6)**alkyl**, (C1-C6)alkoxy, (C1-C6)alkanoyl, halo(C1-C6)**alkyl**, hydroxy(C1-C6)**alkyl**, (C1-C6)alkoxycarbonyl, (C1-C6)alkylthio, (C1-C6)alkanoyloxy; A = residue of a **monosaccharide**) that are useful for preparing **C-glycoside** analogs of gangliosides, peptides, and proteins, as well as synthetic intermediates useful for the preparation of the precursors, and synthetic methods useful for preparing the precursors and the intermediates. The preparation involves synthesis of a suitable aldehyde intermediate and its reaction with a neuraminic acid sulfone in the presence of SmI2 to afford the corresponding C-disaccharide, followed by debenzylation and acetylation to give the product, i.e. Me 5-acetamido-4,7,8,9-tetra-O-acetyl-2,6-anhydro-3,5-dideoxy-2-C-{(S)-O-acetyl-[3-(Ph 2,4,6-tri-O-acetyl-3-deoxy-thio-β-D-galactopyranosidyl)]-methyl}-D-erythro-L-manno-nonate.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:756218 CAPLUS
DOCUMENT NUMBER: 134:71776
TITLE: **C-Glycoside** based mimics of D-myo-inositol 1,4,5-trisphosphate
AUTHOR(S): Rosenberg, H. J.; Riley, A. M.; Correa, V.; Taylor, C. W.; Potter, B. V. L.
CORPORATE SOURCE: Wolfson Laboratory of Medicinal Chemistry, Department of Pharmacy and Pharmacology, University of Bath, Bath, BA2 7AY, UK
SOURCE: Carbohydrate Research (2000), 329(1), 7-16
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71776
AB Epimeric **C-glycoside** based polyphosphates, α- and β-D-glucopyranosylmethanol 3,4,1'-trisphosphates were prepared from D-**glucose**. The key intermediate, allyl 2,6-di-O-benzyl-α-D-glucopyranoside, was prepared in five steps (67% yield) from allyl α-D-glucopyranoside without the need for chromatog. These were shown to be full agonists at the Ins(1,4,5)P3 receptors of permeabilized hepatocytes, but with markedly different potencies. Such **C-glycoside** analogs are worthy of further development as

Ins(1,4,5)P3 receptor ligands.
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:249819 CAPLUS
DOCUMENT NUMBER: 132:279469
TITLE: Preparation of spiro cyclic **C-glycoside**, papulacandin-related compounds
INVENTOR(S): Anduch, Chafic; Hichcock, Steven Andrew; Estaban, Almuda Rubio; Sanchez Martinez, Coception
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000109497	A2	20000418	JP 1999-274173	19990928
US 6069238	A	20000530	US 1999-342073	19990628
CA 2278960	AA	20000330	CA 1999-2278960	19990727
EP 997472	A2	20000503	EP 1999-307672	19990929
EP 997472	A3	20010328		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.: US 1998-102400P P 19980930
OTHER SOURCE(S): CASREACT 132:279469; MARPAT 132:279469
AB The title compds. [I; P = H, **alkyl**, alkenyl, protective group; X = SR1, N3, NHn(R1)2-n ; wherein n = 0,1; R1 = same as P or sugar residue] are prepared by improved or new synthetic routes, i.e. cyclocondensation of bromobenzyl alc. derivs. with gluconolactone derivs. or cyclization of gluconic acid benzyl esters. Thus, a solution of 11.6 g bromobenzyl alc. (II; TIPS = triisopropylsilyl) in 30 mL Et2O was cooled in an acetone-dry ice bath, followed by adding 22.2 mL 1.7 M tert-BuLi dropwise. After 35 min at -78°, the resulting anion solution was added to a solution of 6 g gluconolactone (III; TBDMS = tert-butyldimethylsilyl) in Et2O at once (10-20 s), allowed to react at -78° for 1 h, then warmed to room temperature over 1 h, and quenched with H2O. The reaction mixture was extracted with EtOAc to give, after work up and evaporation of the solvent, an intermediate which was treated with Amberlite in MeOH for 16 h to give 60% I (P = TIPS, X = OH, R1 = H).

L17 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:529660 CAPLUS
DOCUMENT NUMBER: 131:144787
TITLE: Synthesis of 1-methyl-7-(1RS,2R,3S,4S,5S)-2,3,4,5,6-pentabenzyloxy-1-hydroxyhexyl-3,5,8-trihydroxyanthra-9,10-quinone-2-carboxylic acid and intermediates
INVENTOR(S): Tyman, John Henry Paul
PATENT ASSIGNEE(S): UK
SOURCE: Brit. UK Pat. Appl., 9 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2332428	A1	19990623	GB 1997-26274	19971212

PRIORITY APPLN. INFO.: GB 1997-26274 19971212
AB **Alkyl** 1-methyl-7-(RS,2R,3S,4S,5S)-2,3,4,5,6-pentabenzyloxy-1-hydroxyhexyl-3,5,8-trihydroxyanthra-9,10-quinone-2-carboxylates were prepared from the reaction of **alkyl** leuco-6-deoxykermesates with 2,3,4,5,6-penta-O-benzyl-D-**glucose** under aqueous alkaline aldol condensation conditions.

L17 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:598933 CAPLUS
DOCUMENT NUMBER: 130:95724
TITLE: C-Alkylation of Methyl leuco-6-Deoxy-kermesate by Aldol Reactions and its Application to Synthesis of Carminic Acid

AUTHOR(S): Bingham, Steve J.; Tyman, John H. P.; Malik, K. M. A.; Hibbs, David E.; Hursthouse, Michael B.
CORPORATE SOURCE: Department of Chemistry, Brunel Univ., Uxbridge, Middlesex, UB8 3PH, UK
SOURCE: Journal of Chemical Research, Synopses (1998), (9), 546-547, 2465-2496
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:95724
AB In a non-aqueous medium in the presence of piperidinium acetate, Me leuco-6-deoxy-kermesate reacts in aldol fashion with aldehydes regioselectively to give 6-**alkyl** products while under aqueous alkaline conditions over a prolonged time, 7-**alkyl** compds. are selectively formed; the structures of the 6-**alkyl** series was confirmed by an X-ray crystal structure determination of the 6-Me member, namely Me 3,5,8-trihydroxy-1,6-dimethylanthra-9,10-quinone-2-carboxylate; in aqueous alkaline conditions during a short mild reaction period, intermediate 7-α-hydroxyalkyl compds. can be isolated, in an application to a synthesis of 6-deoxy-carminic acid, the aldol reaction of 2,3,4,5,6-penta-O-benzyl-D-**glucose** with Me leuco-6-deoxy-kermesate was examined
REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:218611 CAPLUS
DOCUMENT NUMBER: 126:212367
TITLE: Preparation of Lewis X/a-**C-glycoside** derivatives as cell adhesion inhibitors
INVENTOR(S): Hayashi, Masaji; Imazaki, Naonori
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan; Hayashi, Masaji; Imazaki, Naonori
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703996	A1	19970206	WO 1996-JP1964	19960715
W: CA, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 09087271	A2	19970331	JP 1996-205358	19960715
PRIORITY APPLN. INFO.:			JP 1995-205415 A	19950718

OTHER SOURCE(S): MARPAT 126:212367
AB Trisaccharide **C-Glycoside** derivs. represented by general formula (I; R = H; R1 = C1-18 **alkyl** or phenyl-c1-12 **alkyl**; R2 = H, HO, or acylamino represented by the formula NHCOX (wherein X = C1-16 **alkyl**, optionally substituted aryl, optionally substituted heteroaryl or C1-6 **alkyl** having aryl or heteroaryl at the end); when R2 is H or acylamino NHCOX, then R3 and R4 are different from each other and each represents D-galactopyranosyl, L-fucopyranosyl or H; when R2 is HO, then R3 represents D-galactopyranosyl and R4 represents L-fucopyranosyl or H, or R3 represents L-fucopyranosyl and R4 represents D-galactopyranosyl or H, or R3 represents H and R4 represents L-fucopyranosyl) are prepared The compds. have the activity of inhibiting cell adhesion and are useful as a drug for treating and ameliorating diseases such as inflammation, ischemic reperfusion disorders, autoimmune diseases or cancer metastasis. Thus, 1,3,4,6-tetra-O-acetyl-2-deoxy-D-glucopyranose was condensed with allyltrimethylsilane in the presence of BF3.Et2O in MeCN at ice-cooled temperature to room temperature to give a C-**glucoside** I (R = R3 = R4 = Ac, R1 = α-CH2CH:CH2, R2 = H), which was deacetylated with NaOMe in MeOH and acetalized with benzaldehyde di-Me acetal in the presence of (1S)-(+)-10-camphorsulfonic acid in DMF at room temperature followed by similar deacetylation to give I (RR4 = PhCH, R1 = α-CH2CH:CH2, R2 = R3 = H). This was glycosidated with O-(2,3,4-tri-O-benzyl-α-L-fucopyranosyl) trichloroacetimidate in the presence of trimethylsilyl triflate in Et2O at room temperature to give a disaccharide I (RR4 = PhCH, R1 = α-CH2CH:CH2, R2 = H, R3 = Q; wherein R5 = CH2Ph) (47.4%) and its β-anomer (8.8%), which underwent reductive ring-cleavage with NaBH3CN, AcOH, and Me3SiCl in THF to give I (R = PhCH2, R1 = α-CH2CH:CH2, R2 = R4 = H; R3 = Q,

wherein R5 = CH2Ph) and similarly glycosidated with O-(2,3,4,6-tetra-O-acetyl-α-D-galactopyranosyl) trichloroacetimidate to give a trisaccharide I (R = CH2Ph, R1 = α-CH2CH:CH2; R2 = H; R3 = Q, wherein R5 = CH2Ph; R4 = Q1, wherein R6 = Ac). The latter compound was hydrogenolyzed with ammonium formate in the presence of 10% Pd-C in ethanol under reflux for 2 h, acetylated with Ac2O in the presence of 4-dimethylaminopyridine in pyridine, and then deacetylated to give a trisaccharide **C-glycoside** I (R = R2 = H, R1 = α-CH2CH2CH3; R3 = Q, wherein R5 = H; R4 = Q1, wherein R6 = H). This compound at 5-50 mM in vitro inhibited ≥50% the binding of rsE-selectin to HL-6 cells.

L17 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:606028 CAPLUS
DOCUMENT NUMBER: 125:329134
TITLE: 7-Carbon mimics of D-**glucose** and L-fucose: activation by 6R-, and inactivation by 6S, -6C-methylglucose of glycogen synthase: inhibition of glucokinase and/or **glucose**-6-phosphatase
AUTHOR(S): Bleriot, Yves; Smelt, Kathryn H.; Cadefau, Joan; Bollen, Mathieu; Stalmans, Willy; Biggadike, Keith; Johnson, Louise N.; Oikonomakos, Nikos G.; Lane, Alexandra L.; et al.
CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, OX1 3QY, UK
SOURCE: Tetrahedron Letters (1996), 37(39), 7155-7158
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The short efficient synthesis of epimeric C6-C-Me **glucoses** was described. The target compds. were 7-deoxy-L-glycero-D-gluco-heptose (I) and 7-deoxy-D-glycero-D-Gluco-Heptose (II). One C-6 epimer activated glycogen synthase while the other epimer inactivated the enzyme; C6R-C-Me **glucose** was the first example of a specific inhibitor of **glucose**-6-phosphatase and increased the intracellular concentration of **glucose**-6-phosphate 20 times. C6S-C-Me **glucose** inhibited glucokinase and **glucose**-6-phosphatase, but also had the potential to give easy access to α- **C-glycosides** of L-fucose. C-6-**Alkyl** carbohydrates may provide a new range of sugar mimics that control enzymes associated with formation, hydrolysis and other fates of sugar-6-phosphates.

L17 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:590840 CAPLUS
DOCUMENT NUMBER: 123:314286
TITLE: Practical synthesis of a C-glycosyl flavonoid via O→ **C glycoside** rearrangement
AUTHOR(S): Kumazawa, Toshihiro; Ohki, Kazuhito; Ishida, Mitsuo; Sato, Shingo; Onodera, Jun-ichi; Matsuba, Shigeru
CORPORATE SOURCE: Dep. Materials Science Engineering, Faculty Engineering, Yamagata University, Yonezawa, 992, Japan
SOURCE: Bulletin of the Chemical Society of Japan (1995), 68(5), 1379-84
CODEN: BCSJA8; ISSN: 0009-2673
PUBLISHER: Nippon Kagakkai
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:314286
AB The C-glycosylation of 2,3,4,6-tetra-O-benzyl-α-D-glucopyranosyl fluoride and 2-acetylphloroglucinol 3,5-bis(**alkyl** ether) in the presence of boron trifluoride etherate as an activator stereoselectively gave the β-C- **glucoside** in a good yield via O→ **C glycoside** rearrangement. Subsequently, aldol condensation of the C-**glucoside** with benzaldehyde afforded the corresponding β-C- **glucosyl** chalcone in a good yield.

L17 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:51908 CAPLUS
DOCUMENT NUMBER: 118:51908
TITLE: Carbon-linked **galactosphingolipid** analogs bind specifically to HIV-1 gp120
AUTHOR(S): Bertozzi, Carolyn R.; Cook, David G.; Kobertz, William R.; Gonzalez-Scarano, Francisco; Bednarski, Mark D.
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SOURCE: Journal of the American Chemical Society (1992), 114(26), 10639-41

CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The principal mode of infection by the human immunodeficiency virus types 1 and 2 (HIV-1 and HIV-2) involves the interaction of the HIV envelope protein gp120 with CD4 expressing cells. However, the susceptibility of CD4-neg. cells from diverse tissue origins to HIV infection suggests the presence of an alternative entry pathway. Recent evidence has implicated the glycolipid **galactosyl** ceramide (GalCEr) as a cellular receptor for HIV-1 gp120 in both neural and colorectal-derived cell lines. In this communication, we report the synthesis of water-soluble, carbon-linked **galactosphingolipid** analogs that bind specifically to HIV-1 gp120 and block the interaction of gp120 with GalCer. The compds. contain **C-glycosides** rather than O-glycosides, and **alkyl** amides in place of the allylic alc. of sphingosine. A comparison of the inhibitory activities of a series of derivs. indicates that the allylic alc. and hydrocarbon tail of sphingosine are key structural elements for gp120-GalCer recognition. These results also suggest that synthetic ligands that are stable in vivo can serve as soluble inhibitors of viral uptake and infection in CD4-neg. cells.

L17 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:152293 CAPLUS
DOCUMENT NUMBER: 116:152293
TITLE: Preparation of aldose aryl **C-glycosides**
INVENTOR(S): Inazu, Toshiyuki; Yamanoi, Takashi
PATENT ASSIGNEE(S): Noguchi Research Institute, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03264576	A2	19911125	JP 1990-62123	19900313
JP 06070030	B4	19940907		
PRIORITY APPLN. INFO.:			JP 1990-62123	19900313

OTHER SOURCE(S): CASREACT 116:152293
AB Aldose whose C1 are glycosidated with aryl compds., which can be useful as anticancer agents, are prepared by treatment of aromatic compds. with aldoses modified with R1R2P(:S) [R1, R2 = (un)substituted **alkyl**, aryl] at the acetal positions, in the presence of HClO4 salts. Treatment of 2,3,4,6-tetra-O-benzyl-D-glucopyranose with BuLi/hexane and Me2P(:S)Cl in THF for 2 h gave 86% 2,3,4,6-tetra-O-benzyl-D-glucopyranosyl dimethylthiophosphinate, which was treated with 1,3,5-trimethoxybenzene, AgClO4, and mol. sieves 4A in C6H6 at room temperature overnight to afford 47% α-C- **glucosyl** compound I.

L17 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:443551 CAPLUS
DOCUMENT NUMBER: 95:43551
TITLE: Synthesis of 2-S-dioxo isosteres of purine and pyrimidine nucleosides. I. **Alkyl** and glycosyl derivatives of 3,5-diamino-4H-1,2,6-thiadiazine 1,1-dioxide
AUTHOR(S): Resa, P. Fernandez; Stud, M.
CORPORATE SOURCE: Inst. Quim. Med., Madrid, Spain
SOURCE: Journal of Heterocyclic Chemistry (1981), 18, 27-30
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reaction of thiadiazine I with Me2SO4 gave the 4-Me and 2,4-di-Me derivs. With PhCH2Cl and allyl bromide C-4 substituted compds. were obtained. Reaction of the disilyl derivative of I with either 2,3,4,6-tetra-O-acetyl-α-D-glucopyranosyl bromide or 1,2,3,4,6-penta-O-acetyl-β-D- **glucoside** in the presence of Friedel-Crafts catalysts gave the α and β anomers of the N-2 nucleoside and the β-O- **glucoside**. When the reaction was performed with 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribofuranose, a β- C -**glycoside** and the α and β anomers of the N-2 nucleoside were obtained. The structure of the corresponding nucleosides were elucidated by 1H NMR and UV by comparing the latter with those of the **alkyl** derivs.